

229694.ST25  
SEQUENCE LISTING

<110> TARASOVA, Nadya I  
MICHEJDA, Christopher J  
DYBA, Marcin  
COHRAN, Carolyn

<120> CONJUGATES OF LIGAND, LINKER AND CYTOTOXIC AGENT AND RELATED  
COMPOSITIONS AND METHODS OF USE

<130> 229694

<150> PCT/US03/06344  
<151> 2003-02-27

<150> 60/360,543  
<151> 2002-02-27

<150> 60/370,189  
<151> 2002-04-05

<160> 28

<170> PatentIn version 3.2

<210> 1  
<211> 4  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<400> 1  
phe Ala Leu Ala  
1

<210> 2  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<400> 2  
Val Leu Ala Leu Ala  
1 5

<210> 3  
<211> 4  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<400> 3

Ala Leu Ala Leu  
1

<210> 4  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<400> 4

Ala Leu Ala Leu Ala  
1 5

<210> 5  
<211> 33  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<400> 5

Leu Gly Pro Gln Gly Pro Pro His Leu Val Ala Asp Pro Ser Lys Lys  
1 5 10 15

Gln Gly Pro Trp Leu Glu Glu Glu Glu Glu Ala Tyr Gly Trp Met Asp  
20 25 30

Phe

<210> 6  
<211> 4  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<220>  
<221> misc\_feature  
<222> (2)..(2)  
<223> Xaa = at position 2 is norleucine

<400> 6

Trp Xaa Asp Phe  
1

<210> 7  
<211> 8  
<212> PRT

<213> Artificial Sequence

<220>

<223> Synthetic

<220>

<221> misc\_feature

<222> (2)..(2)

<223> Xaa = at position 2 is sulfotyrosine

<400> 7

Asp Xaa Met Gly Trp Met Asp Phe  
1 5

<210> 8

<211> 8

<212> PRT

<213> Artificial Sequence

<220>

<223> Synthetic

<220>

<221> misc\_feature

<222> (2)..(2)

<223> Xaa = at position 2 is sulfotyrosine

<220>

<221> misc\_feature

<222> (3)..(3)

<223> Xaa = at position 3 is norleucine

<220>

<221> misc\_feature

<222> (6)..(6)

<223> Xaa = at position 6 is norleucine

<400> 8

Asp Xaa Xaa Gly Trp Xaa Asp Phe  
1 5

<210> 9

<211> 27

<212> PRT

<213> Artificial Sequence

<220>

<223> Synthetic

<400> 9

Val Pro Leu Pro Ala Gly Gly Gly Thr Val Leu Thr Lys Met Tyr Pro  
1 5 10 15

Arg Gly Asn His Trp Ala Val Gly His Leu Met  
20 25

<210> 10  
 <211> 7  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<400> 10

Trp Ala Val Gly His Leu Met  
 1 5

<210> 11  
 <211> 14  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<400> 11

Ala Gly Cys Lys Asn Phe Phe Trp Lys Thr Phe Thr Ser Cys  
 1 5 10

<210> 12  
 <211> 8  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<220>  
 <221> misc\_feature  
 <222> (1)..(8)  
 <223> wherein the peptide is carboxylated at either the N-or C-terminus

<400> 12

Phe Cys Phe Trp Lys Thr Cys Thr  
 1 5

<210> 13  
 <211> 11  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<400> 13

Arg Pro Leu Pro Gln Gln Phe Phe Gly Leu Met  
 1 5 10

<210> 14  
 <211> 15  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<400> 14

Pro Gly Thr Cys Glu Ile Cys Ala Tyr Ala Ala Cys Thr Gly Cys  
 1 5 10 15

<210> 15  
 <211> 14  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<400> 15

Asn Asp Asp Cys Glu Leu Cys Val Ala Cys Thr Gly Cys Leu  
 1 5 10

<210> 16  
 <211> 16  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<400> 16

Asn Tyr Cys Cys Glu Leu Cys Cys Asn Pro Ala Cys Thr Gly Cys Phe  
 1 5 10 15

<210> 17  
 <211> 29  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<400> 17

His Ser Asp Ala Leu Phe Thr Asp Asn Tyr Thr Arg Leu Arg Leu Gln  
 1 5 10 15

Met Ala Val Lys Lys Tyr Leu Asn Ser Ile Leu Asn Gly  
 20 25

<210> 18

<211> 29  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<220>  
 <221> misc\_feature  
 <222> (17)..(17)  
 <223> Xaa = at position 17 is norleucine

<400> 18

His Ser Asp Ala Leu Phe Thr Asp Asn Tyr Thr Arg Leu Arg Leu Gln  
 1 5 10 15

Xaa Ala Val Lys Lys Tyr Leu Asn Ser Ile Leu Asn Gly  
 20 25

<210> 19  
 <211> 7  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<220>  
 <221> misc\_feature  
 <222> (5)..(5)  
 <223> Xaa = at position 5 is norleucine

<400> 19

Ala Tyr Gly Trp Xaa Asp Phe  
 1 5

<210> 20  
 <211> 10  
 <212> PRT  
 <213> Artificial Sequence

<220>  
 <223> Synthetic

<220>  
 <221> misc\_feature  
 <222> (8)..(8)  
 <223> Xaa = at position 8 is norleucine

<400> 20

Glu Glu Glu Ala Tyr Gly Trp Xaa Asp Phe  
 1 5 10

<210> 21  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<220>  
<221> misc\_feature  
<222> (1)..(1)  
<223> Xaa = at position 1 is 2-cyclohexyl-L-alanine

<400> 21

Xaa Leu Ala Leu Ala  
1 5

<210> 22  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<220>  
<221> misc\_feature  
<222> (1)..(1)  
<223> Xaa = at position 1 is 2-cyclohexyl-L-alanine

<220>  
<221> misc\_feature  
<222> (2)..(2)  
<223> Xaa = at position 2 is 2-cyclohexyl-L-alanine

<400> 22

Xaa Xaa Leu Ala Leu  
1 5

<210> 23  
<211> 5  
<212> PRT  
<213> Artificial Sequence

<220>  
<223> Synthetic

<220>  
<221> misc\_feature  
<222> (1)..(1)  
<223> Xaa = at position 1 is 1-naphtyl-alanine

<220>  
<221> misc\_feature  
<222> (2)..(2)  
<223> Xaa = at position 2 is 2-cyclohexyl-L-alanine

&lt;400&gt; 23

Xaa Xaa Leu Ala Leu  
1 5

&lt;210&gt; 24

&lt;211&gt; 5

&lt;212&gt; PRT

&lt;213&gt; Artificial Sequence

&lt;220&gt;

&lt;223&gt; Synthetic

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (1)..(1)

&lt;223&gt; Xaa = at position 1 is 1-naphtyl-alanine

&lt;400&gt; 24

Xaa Leu Ala Leu Ala  
1 5

&lt;210&gt; 25

&lt;211&gt; 15

&lt;212&gt; PRT

&lt;213&gt; Artificial

&lt;220&gt;

&lt;223&gt; Synthetic

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (13)..(13)

&lt;223&gt; Xaa = at position 13 is norleucine

&lt;400&gt; 25

Val Leu Ala Leu Ala Glu Glu Glu Ala Tyr Gly Trp Xaa Asp Phe  
1 5 10 15

&lt;210&gt; 26

&lt;211&gt; 15

&lt;212&gt; PRT

&lt;213&gt; Artificial

&lt;220&gt;

&lt;223&gt; Synthetic

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (1)..(1)

&lt;223&gt; V = at position 1 is conjugated to SPA110

&lt;220&gt;

&lt;221&gt; misc\_feature



&lt;222&gt; (13)..(13)

&lt;223&gt; Xaa = at position 13 is norleucine

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (15)..(15)

&lt;223&gt; F = at position 15 comprises a C-terminal amide group

&lt;400&gt; 26

Val	Leu	Ala	Leu	Ala	Glu	Glu	Glu	Ala	Tyr	Gly	Trp	Xaa	Asp	Phe
1				5					10					15

&lt;210&gt; 27

&lt;211&gt; 15

&lt;212&gt; PRT

&lt;213&gt; Artificial

&lt;220&gt;

&lt;223&gt; Synthetic

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (1)..(1)

&lt;223&gt; Xaa = at position 1 is 2-cyclohexyl-L-alanine and is conjugated to HTI-286

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (13)..(13)

&lt;223&gt; Xaa = at position 13 is norleucine

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (15)..(15)

&lt;223&gt; F = at position 15 comprises a C-terminal amide group

&lt;400&gt; 27

Xaa	Leu	Ala	Leu	Ala	Glu	Glu	Glu	Ala	Tyr	Gly	Trp	Xaa	Asp	Phe
1				5					10					15

&lt;210&gt; 28

&lt;211&gt; 15

&lt;212&gt; PRT

&lt;213&gt; Artificial

&lt;220&gt;

&lt;223&gt; Synthetic

&lt;220&gt;

&lt;221&gt; misc\_feature

&lt;222&gt; (13)..(13)

&lt;223&gt; Xaa = at position 13 is norleucine

&lt;400&gt; 28

Phe	Leu	Ala	Leu	Ala	Glu	Glu	Glu	Ala	Tyr	Gly	Trp	Xaa	Asp	Phe
1				5					10					15